

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssptasxml624

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 JAN 17 Pre-1988 INPI data added to MARPAT
NEWS 4 FEB 21 STN AnaVist, Version 1.1, lets you share your STN AnaVist
visualization results
NEWS 5 FEB 22 The IPC thesaurus added to additional patent databases on STN
NEWS 6 FEB 22 Updates in EPFULL; IPC 8 enhancements added
NEWS 7 FEB 27 New STN AnaVist pricing effective March 1, 2006
NEWS 8 MAR 03 Updates in PATDPA; addition of IPC 8 data without attributes
NEWS 9 MAR 22 EMBASE is now updated on a daily basis
NEWS 10 APR 03 New IPC 8 fields and IPC thesaurus added to PATDPAFULL
NEWS 11 APR 03 Bibliographic data updates resume; new IPC 8 fields and IPC
thesaurus added in PCTFULL
NEWS 12 APR 04 STN AnaVist \$500 visualization usage credit offered
NEWS 13 APR 12 LINSPEC, learning database for INSPEC, reloaded and enhanced
NEWS 14 APR 12 Improved structure highlighting in FQHIT and QHIT display
in MARPAT
NEWS 15 APR 12 Derwent World Patents Index to be reloaded and enhanced during
second quarter; strategies may be affected
NEWS 16 MAY 10 CA/CAPLUS enhanced with 1900-1906 U.S. patent records
NEWS 17 MAY 11 KOREAPAT updates resume
NEWS 18 MAY 19 Derwent World Patents Index to be reloaded and enhanced
NEWS 19 MAY 30 IPC 8 Rolled-up Core codes added to CA/CAPLUS and
USPATFULL/USPAT2
NEWS 20 MAY 30 The F-Term thesaurus is now available in CA/CAPLUS
NEWS 21 JUN 02 The first reclassification of IPC codes now complete in
INPADOC

NEWS EXPRESS JUNE 16 CURRENT WINDOWS VERSION IS V8.01b, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 23 MAY 2006.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8
NEWS X25 X.25 communication option no longer available after June 2006

Enter NEWS followed by the item number or name to see news on that
specific topic.

All use of STN is subject to the provisions of the STN Customer
agreement. Please note that this agreement limits use to scientific
research. Use for software development or design or implementation
of commercial gateways or other similar uses is prohibited and may
result in loss of user privileges and other penalties.

* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 21:22:26 ON 16 JUN 2006

=> fil reg
COST IN U.S. DOLLARS
FULL ESTIMATED COST

SINCE FILE ENTRY	TOTAL SESSION
0.21	0.21

FILE 'REGISTRY' ENTERED AT 21:22:31 ON 16 JUN 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 15 JUN 2006 HIGHEST RN 887970-41-4
DICTIONARY FILE UPDATES: 15 JUN 2006 HIGHEST RN 887970-41-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

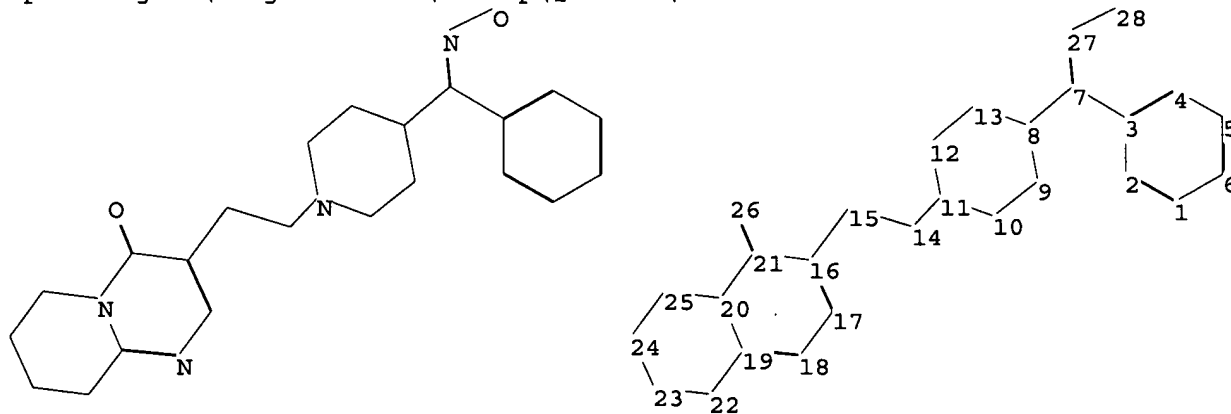
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>
Uploading C:\Program Files\Stnexp\Queries\10705926d.str



chain nodes :

7 14 15 26 27 28

ring nodes :

1 2 3 4 5 6 8 9 10 11 12 13 16 17 18 19 20 21 22 23 24 25

chain bonds :
 3-7 7-8 7-27 11-14 14-15 15-16 21-26 27-28
 ring bonds :
 1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13 16-17 16-21
 17-18 18-19 19-20 19-22 20-21 20-25 22-23 23-24 24-25
 exact/norm bonds :
 7-27 8-9 8-13 9-10 10-11 11-12 11-14 12-13 16-17 16-21 17-18 18-19
 19-20 19-22 20-21 20-25 21-26 22-23 23-24 24-25 27-28
 exact bonds :
 3-7 7-8 14-15 15-16
 normalized bonds :
 1-2 1-6 2-3 3-4 4-5 5-6

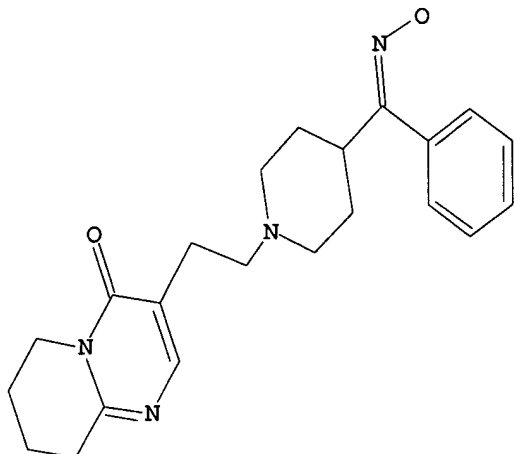
Match level :
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom
 11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom
 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:CLASS 27:CLASS 28:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 21:22:51 FILE 'REGISTRY'
 SAMPLE SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS 1 ANSWERS
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
 PROJECTED ITERATIONS: 2 TO 124
 PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l2 full

FULL SEARCH INITIATED 21:22:58 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 6 TO ITERATE

100.0% PROCESSED 6 ITERATIONS 5 ANSWERS
SEARCH TIME: 00.00.01

L3 5 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
166.94	167.15

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 21:23:04 ON 16 JUN 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 16 Jun 2006 VOL 144 ISS 26
FILE LAST UPDATED: 15 Jun 2006 (20060615/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> s l3
L4 5 L3

=> d l4 ibib abs hitstr 1-5

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:927203 CAPLUS
DOCUMENT NUMBER: 141:400904
TITLE: Risperidone monohydrochloride
INVENTOR(S): Bartl, Jiri; Gieling, Reinerus Gerardus
PATENT ASSIGNEE(S): Synthon B.V., Neth.
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004094415	A1	20041104	WO 2004-EP4129	20040415
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,			

ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
TD, TG

EP 1615923 A1 20060118 EP 2004-727562 20040415
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
US 2004266790 A1 20041230 US 2004-825683 20040416
US 2004266791 A1 20041230 US 2004-825684 20040416
NO 2005005490 A 20060123 NO 2005-5490 20051121

PRIORITY APPLN. INFO.: US 2003-464364P P 20030422
WO 2004-EP4129 W 20040415

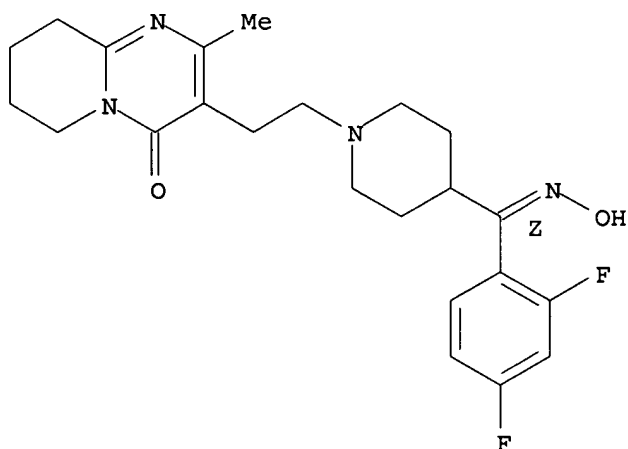
AB Hydrochloride salts of risperidone have been found to have useful
properties. A preferred form is crystalline risperidone monohydrochloride
hemipentahydrate. The monohydrochloride salts can be used in
pharmaceutical compns. and methods such as for use in treating psychotic
disorders.

IT 132961-05-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(risperidone monohydrochloride)

RN 132961-05-8 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[(Z)-(2,4-
difluorophenyl)(hydroxyimino)methyl]-1-piperidinyl]ethyl]-6,7,8,9-
tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:414637 CAPLUS

DOCUMENT NUMBER: 140:423697

TITLE: Process for making risperidone and intermediates
therefor

INVENTOR(S): Slanina, Pavel; Bartl, Jiri

PATENT ASSIGNEE(S): Czech Rep.

SOURCE: U.S. Pat. Appl. Publ., 12 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

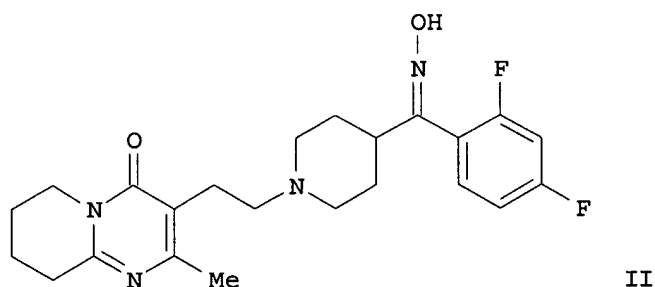
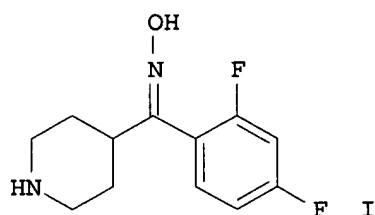
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
US 2004097523	A1	20040520	US 2003-705926	20031113
WO 2004043923	A1	20040527	WO 2003-EP12504	20031107
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,			

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG.
 AU 2003288017 A1 20040603 AU 2003-288017 20031107
 EP 1560814 A1 20050810 EP 2003-779870 20031107
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
 CN 1720228 A 20060111 CN 2003-80104962 20031107
 NO 2005002859 A 20050805 NO 2005-2859 20050613
 PRIORITY APPLN. INFO.: US 2002-425727P P 20021113
 WO 2003-EP12504 W 20031107

GI



AB The formation of risperidone is enhanced by the use of enriched Z-isomer oxime intermediate(s) I or II. The oxime(s) can be isomerically enriched by a variety of techniques including the use of the novel acetic acid salt thereof, which affords, inter alia, resolution of the isomers and/or by heat conversion. Thus, reacting 4-(2,4-difluorobenzoyl)piperidine.HCl with H2NOH.HCl followed by treatment with AcOH afforded (Z)-I.AcOH which was then converted to (Z)-I free base. The latter was reacted with 3-(2-chloroethyl)-2-methyl-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidin-4-one hydrochloride to provide (Z)-II. Cyclization of (Z)-II afforded 95% risperidone.

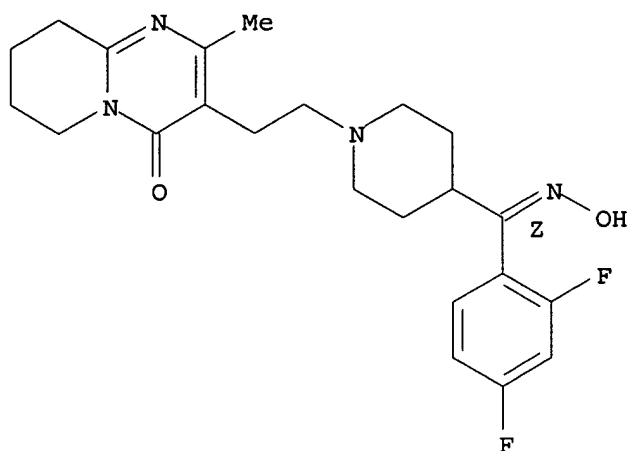
IT 132961-05-8P 691007-09-7P 691007-10-0P
 691007-11-1P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (process for making risperidone by cyclization of enriched Z-isomer oxime)

RN 132961-05-8 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[(Z)-(2,4-difluorophenyl)(hydroxyimino)methyl]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

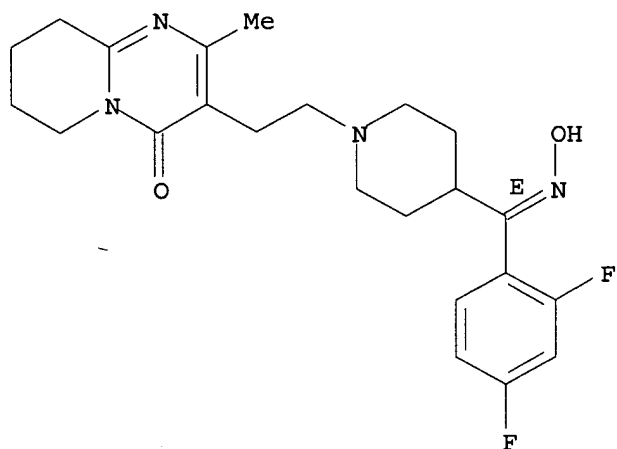
Double bond geometry as shown.



RN 691007-09-7 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[(E)-(2,4-difluorophenyl)(hydroxyimino)methyl]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 691007-10-0 CAPLUS

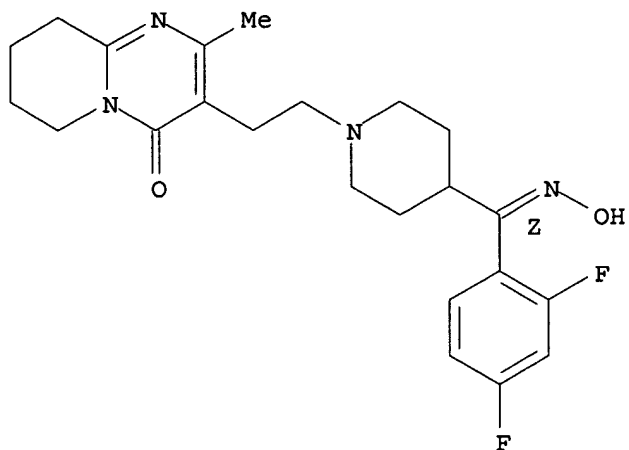
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[(Z)-(2,4-difluorophenyl)(hydroxyimino)methyl]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl-, acetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 132961-05-8

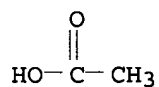
CMF C23 H28 F2 N4 O2

Double bond geometry as shown.



CM 2

CRN 64-19-7
CMF C2 H4 O2

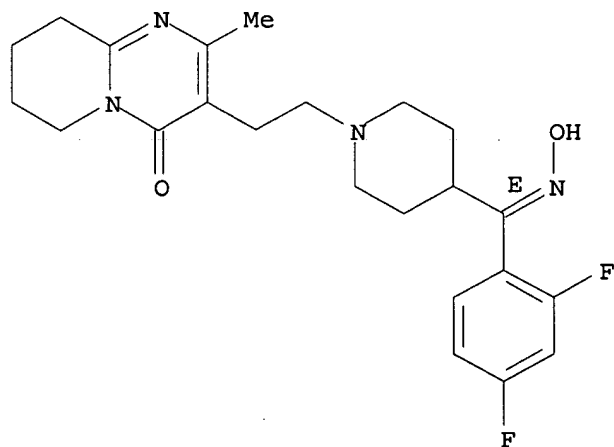


RN 691007-11-1 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[(E)-(2,4-difluorophenyl)(hydroxyimino)methyl]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl-, acetate (salt) (9CI) (CA INDEX NAME)

CM 1

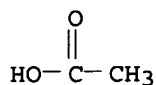
CRN 691007-09-7
CMF C23 H28 F2 N4 O2

Double bond geometry as shown.



CM 2

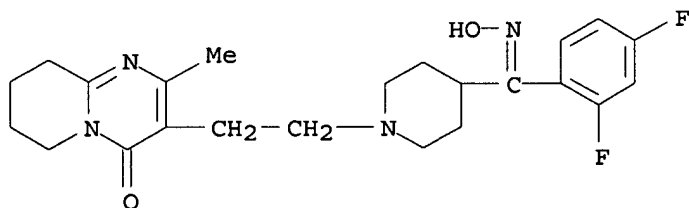
CRN 64-19-7



L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:80688 CAPLUS
 DOCUMENT NUMBER: 140:111428
 TITLE: Preparation of antipsychotic risperidone
 INVENTOR(S): Meenakshisunderam, Sivakumaran; Rama, Shankar; Chetan, Pandit
 PATENT ASSIGNEE(S): Aurobindo Pharma Ltd., India
 SOURCE: PCT Int. Appl., 15 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009591	A1	20040129	WO 2003-IN207	20030602
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003237597	A1	20040209	AU 2003-237597	20030602
PRIORITY APPLN. INFO.:			IN 2002-MA545	A 20020722
			WO 2003-IN207	W 20030602

OTHER SOURCE(S): CASREACT 140:111428
 AB The title compound is prepared by reaction of 3-(2-chloroethyl)-6,7,8,9-tetrahydro-2-methyl-4H-pyrido-[1,2-a]pyrimidin-4-one with 4-(2,4-difluorobenzoyl)piperidine oxime to form oxime; and in situ cyclization of oxime to form risperidone in solvent acetonitrile, N,N-dimethylformamide or Me iso-Bu ketone.
 IT 158697-66-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of antipsychotic risperidone)
 RN 158697-66-6 CAPLUS
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[(2,4-difluorophenyl)(hydroxyimino)methyl]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:396885 CAPLUS

DOCUMENT NUMBER: 138:401742

TITLE: Improved process for the preparation of
3-{2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-
piperidinyl]ethyl}-6,7,8,9-tetrahydro-2-methyl-4H-
pyrido[1,2-a]pyrimidin-4-one (Risperidone)

INVENTOR(S): Pongo, Laszlo; Reiter, Jozsef; Simig, Gyula; Berecz,
Gabor; Clementis, Gyorgy; Slegel, Peter; Szilagyi,
Janos; Koncz, Laszlo; Vereczkeyne Donath, Gyorgyi;
Nagy, Kalman; Koertvelyessy, Gyulane

PATENT ASSIGNEE(S): Egis Gyogyszergyar Rt., Hung.

SOURCE: PCT Int. Appl., 34 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003042212	A1	20030522	WO 2002-HU120	20021113
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1461338	A1	20040929	EP 2002-803068	20021113
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
JP 2005513019	T2	20050512	JP 2003-544048	20021113
BG 108757	A	20050331	BG 2004-108757	20040611
US 2005004141	A1	20050106	US 2004-495362	20040820
PRIORITY APPLN. INFO.:			HU 2001-4873	A 20011113
			WO 2002-HU120	W 20021113
OTHER SOURCE(S):	CASREACT 138:401742; MARPAT 138:401742			
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a process for the preparation of risperidone I, well-known antipsychotic agent, and pharmaceutically acceptable acid addition salts thereof by subjecting the oxime II to ring-closure in the presence of an alkali hydroxide, alkali carbonate or alkali alkoxide in an inert organic solvent, converting the base I thus obtained into an acid addition salt or setting free the base I from an acid addition salt thereof which comprises reacting a halogen derivative III (wherein Hal = halogen) with piperidine oxime derivative IV, or an acid addition salt thereof in the presence of a base,
and using by the ring-closure of the oxime II formed a alkanol as inert solvent. The process of the present invention enables the economical preparation of a product having a purity suitable for pharmaceutical purposes.

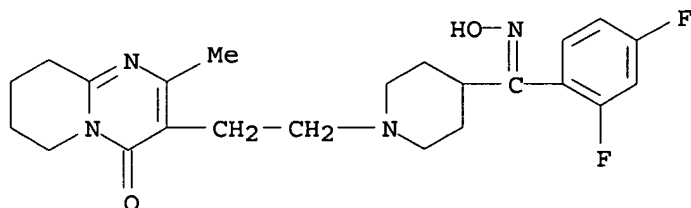
IT 158697-66-6P, 3-[2-[4-[(2,4-Difluorophenyl)-(hydroxyimino)methyl]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl-4H-pyrido[1,2-a]pyrimidin-

4-one

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for the preparation of risperidone)

RN 158697-66-6 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[(2,4-difluorophenyl)(hydroxyimino)methyl]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1994:655824 CAPLUS

DOCUMENT NUMBER: 121:255824

TITLE: Process for preparation of 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)piperidino]ethyl]-2-methyl-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidin-4-one [risperidone]

INVENTOR(S): Marquillas Olondriz, Francisco; Bosch Rovira, Anna; Dalmases Barjoan, Pere; Caldero Ges, Jose Maria

PATENT ASSIGNEE(S): Vita-Invest, S.A., Spain

SOURCE: Span., 7 pp.
CODEN: SPXXAD

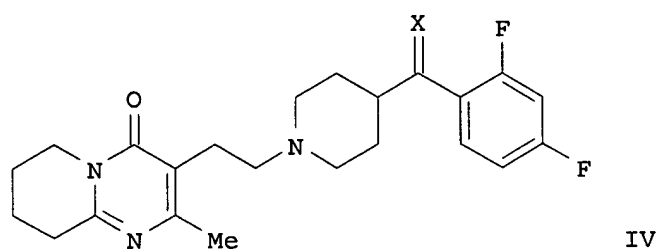
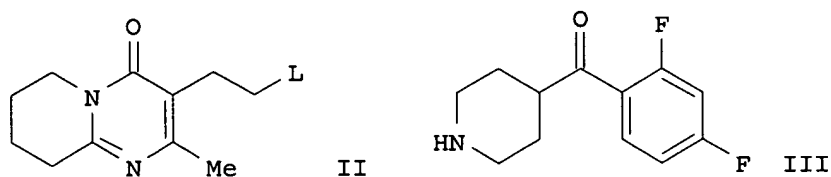
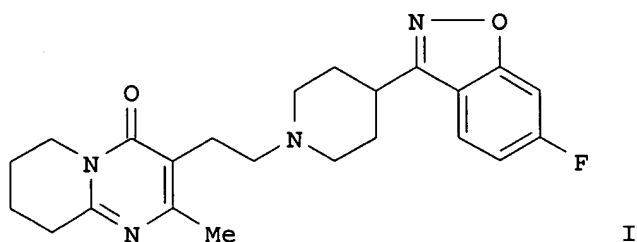
DOCUMENT TYPE: Patent

LANGUAGE: Spanish

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
ES 2050069	A1	19940501	ES 1992-1424	19920710
ES 2050069	B1	19941216		
PRIORITY APPLN. INFO.:			ES 1992-1424	19920710
OTHER SOURCE(S):	CASREACT	121:255824		
GI				



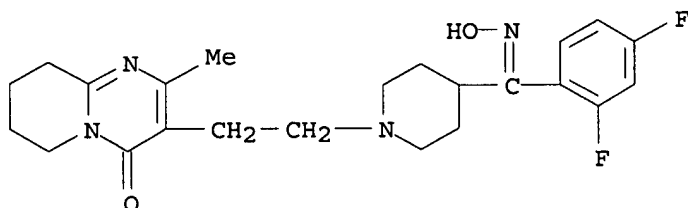
AB Title compound I, i.e. the antipsychotic risperidone, is prepared in 3 steps: (1) condensation of pyridopyrimidine derivs. II [L = leaving group such as halo, alkyl- or arylsulfonyl (sic)] with (difluorobenzoyl)piperidine III; (2) oximation of the resultant compound IV (X = O) with $\text{NH}_2\text{OH}\cdot\text{HCl}$; and (3) cyclization of the oxime IV (X = NOH) under basic conditions. In a series of examples, II (L = Cl) was prepared in 3 steps and $\text{III}\cdot\text{HCl}$ was prepared in 4 steps. Reaction of these 2 compds. in refluxing MeCN in the presence of NaHCO_3 and KI gave after workup 63.1% $\text{IV}\cdot 2\text{HCl}$ (X = O). Oximation of this with $\text{NH}_2\text{OH}\cdot\text{HCl}$ in refluxing pyridine-EtOH mixture containing KOH gave 76.2% IV (X = NOH). Cyclization of the oxime using NaH in refluxing THF (84.7%) or refluxing aqueous KOH (78.7%) gave I.

IT 158697-66-6P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(cyclization; preparation of risperidone)

RN 158697-66-6 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[(2,4-difluorophenyl)(hydroxyimino)methyl]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	26.01	193.16
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-3.75	-3.75

STN INTERNATIONAL LOGOFF AT 21:23:33 ON 16 JUN 2006